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File: USPT

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DOCUMENT-IDENTIFIER: US 6262257 B1

TITLE: Calixpyrroles, calixpyridinopyrroles and calixpyridines

<u>US PATENT NO.</u> (1):

Brief Summary Text (55):

A further composition of matter of the present invention is a calix[n]pyrrole, a calix[m]pyridino[n]pyrrole, or a calix[m]pyridine made by one of the first through fourteenth synthetic method embodiments provided herein.

Brief Summary Text (65):

Further embodiments of the invention include a chromatography column or a sensor comprising a solid support bound to a calix[n]pyrrole where n is 4-8, to a calix[m]pyridino[n]pyrrole where m+n=4-8 and m is not 1 or 2, or to a calix[m]pyridine where m is 4-8. Use of a calix[n]pyrrole, a calix[m]pyridino[n]pyrrole, or a calix[m]pyridine in the preparation of a pharmaceutical composition for use in in vivo or ex vivo treatment of body tissues is another embodiment of the invention. The treatment may involve binding, transport, and/or removal of ions or neutral molecular species for conditions such as gout, for kidney dialysis, for removal of viruses, for introduction of antiviral drugs, or the like. A method, therefore, includes administering to a patient in need thereof a therapeutically effective amount of a calix[n]pyrrole, a calix[m]pyridino[n]pyrrole, or a calix[m]pyridine.

Detailed Description Text (2):

The present invention provides .beta.-substitued calix[n]pyrroles, calix[m]pyridines, calix[n]pyrrole-anion complexes, calix[m]pyridino[n]pyrrole-anion complexes, calix[n]pyrrole-neutral molecule complexes, calix[m]pyridino[n]pyrrole-neutral molecule complex, calix[m]pyridino[n]pyrrole-cation complexes, calix[m]pyridine-cation complexes, calix[m]pyridine-neutral molecule complexes and certain meso-substituted calix[4]pyrroles, meso-substituted calix[n]pyrroles where n is 5, 6, 7, or 8, certain calix[m]pyridino[n]pyrroles, where m+n=4, and calix[m]pyridino[n]pyrroles where m+n=5, 6, 7, or 8 as new compositions of matter.

Detailed Description Text (120):

For in vivo and ex vivo uses, calix[n]pyrroles, calix[m]pyridino[n]pyrroles and calix[m]pyridines are provided as pharmaceutical preparations. A pharmaceutical preparation of a calix[n]pyrrole, calix[m]pyridino[n]pyrrole or calix[m]pyridine may be administered alone or in combination with pharmaceutically acceptable carriers, in either single or multiple doses. Suitable pharmaceutical carriers include inert solid diluents or fillers, sterile aqueous solutions and various organic solvents. The pharmaceutical compositions formed by combining a calix[n]pyrrole, calix[m]pyridino[n]pyrrole or calix[m]pyridine of the present invention and the pharmaceutically acceptable carriers are then easily administered in a variety of dosage forms. Administration may be intravenous, intraperitoneal, parenteral, intramuscular, subcutaneous, oral, or topical, with intravenous administration being preferred.

Detailed Description Text (122):

The pharmaceutical forms suitable for injectable use include sterile aqueous solutions or dispersions and sterile powders for the extemporaneous preparation of sterile injectable solutions or dispersions. In all cases the form must be sterile and must be fluid to the extent that easy use with a syringe exists. It must be stable under the conditions of manufacture and storage and must be preserved against the contaminating action of microorganisms, such as bacteria and fungi. The carrier can be a solvent or dispersion medium containing, for example, water, ethanol, polyol (for example, glycerol, propylene glycol, and liquid polyethylene glycol, and the like), suitable mixtures thereof, and vegetable oils. The proper fluidity can be maintained, for example, by the use of a coating, such as lecithin, by the maintenance of the required particle size in the case of dispersion and by the use of surfactants. The prevention of the action of microorganisms can be brought about by various antibacterial and antifungal agents, for example, parabens, chlorobutanol, phenol, sorbic acid, thimerosal, and the like. In many cases, it will be preferable to include isotonic agents, for example, sugars such as mannitol or dextrose or sodium chloride. Prolonged absorption of the injectable compositions can be brought about by the use in the compositions of agents delaying absorption, for example, aluminum monostearate and gelatin.

Detailed Description Text (124):

As used herein, "pharmaceutically acceptable carrier" includes any and all solvents, dispersion media, coatings, permeation enhancers, antibacterial and antifungal agents, isotonic and absorption delaying agents and the like. The use of such media and agents for pharmaceutically active substances is well known in the art. Except insofar as any conventional media or agent is incompatible with the active ingredient, its use in the therapeutic compositions is contemplated. Supplementary active ingredients can also be incorporated into the compositions.

Detailed Description Text (320):

All of the <u>compositions</u> and methods disclosed and claimed herein can be made and executed without undue experimentation in light of the present disclosure. While the <u>compositions</u> and methods of this invention have been described in terms of preferred embodiments, it will be apparent to those of skill in the art that variations may be applied to the <u>composition</u>, methods and in the steps or in the sequence of steps of the method described herein without departing from the concept, spirit and scope of the invention. More specifically, it will be apparent that certain agents which are both chemically and physiologically related may be substituted for the agents described herein while the same or similar results would be achieved. All such similar substitutes and modifications apparent to those skilled in the art are deemed to be within the spirit, scope and concept of the invention as defined by the appended claims.